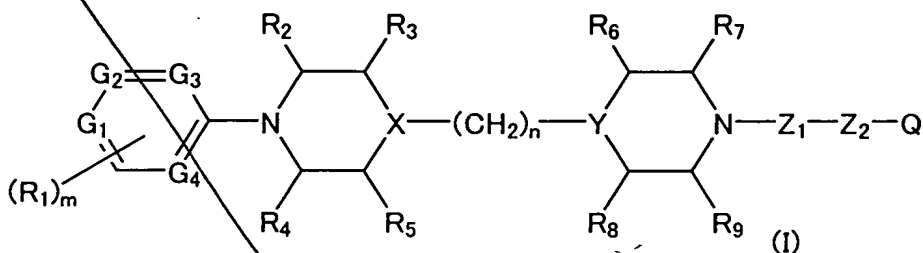


CLAIMS

1. A compound represented by the following formula (I) or a salt thereof:



(wherein G_1 , G_2 , G_3 and G_4 are independently CH or N;

X and Y are independently CH or N;

Z_1 is a group represented by the formula $-SO_2-$ or $-CH_2-$;

Z_2 is a single bond, a lower alkylene group, a lower alkenylene group or a lower alkynylene group;

Q is an optionally substituted aryl or an optionally substituted heteroaryl group;

R_1 is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxy group, a lower alkoxy carbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a

009290" 24428560

hydroxyl group or a mono- or di-substituted lower alkylamino-carbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of $G_1 - G_4$, or a lower alkyl group, a lower alkoxy group or a lower alkenyl group that may be substituted with a desired number of substituents of group A;

each of $R_2, R_3, R_4, R_5, R_6, R_7, R_8$ and R_9 forms a carbonyl group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxy carbonyl group, a lower alkoxy carbonylalkylcarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxy carbamoyl group, a lower alkoxy carbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenylcarbamoyl group or a group represented by the formula $-\text{CONH}(\text{CH}_2)_p\text{S}(\text{O})_q\text{R}_{10}$ or $-\text{CONH}(\text{CH}_2)_r\text{NR}_{11}\text{R}_{12}$, or a lower alkyl group that may be substituted by R_{15} ;

each of $\text{R}_{10}, \text{R}_{11}$ and R_{12} independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

Sub
At Cl
conf

009290" 24428560

Sub
St-C
cont

R_{15} is a carboxyl group, a lower alkoxy carbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

provided that R_6 , when combined with the carbon atom to which it is bound, may represent $R_{6a}-C-R_{6b}$, wherein either R_{6a} or R_{6b} is a hydrogen atom and the other is the same as defined above for R_6 or, alternatively, each of R_{6a} and R_{6b} independently represents a lower alkyl group;

also provided that if any one of the substituents $R_2 - R_9$ includes cyclic group, such cyclic group may be substituted by one or two lower alkyl groups;

m and n are independently an integer of 0 - 3, p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4;

with the proviso that when X and Y are both N, n is 2 or 3 and Z_1 is $-CH_2-$ those compounds of formula (I) in which R_6 and R_8 in pair or R_7 and R_9 in pair are both carbonyl groups are excluded).

2. The compound or salt thereof according to claim 1, wherein

the optionally substituted aryl or heteroaryl group as Q is an aryl or heteroaryl group that may be substituted by 1 - 4 groups in any combinations that are selected from among substituents of either group B [a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula $\text{-NHCR}_{13}\text{-NHR}_{14}$ (wherein R_{13} is an optionally cyano-substituted imino group or a group =CHNO_2 ; R_{14} is a hydrogen atom or a methyl group), a phenyl group, a phenoxy group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-S(O)t or heteroaryl-S(O)t (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6-membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all

Sub
BT
C1
cont

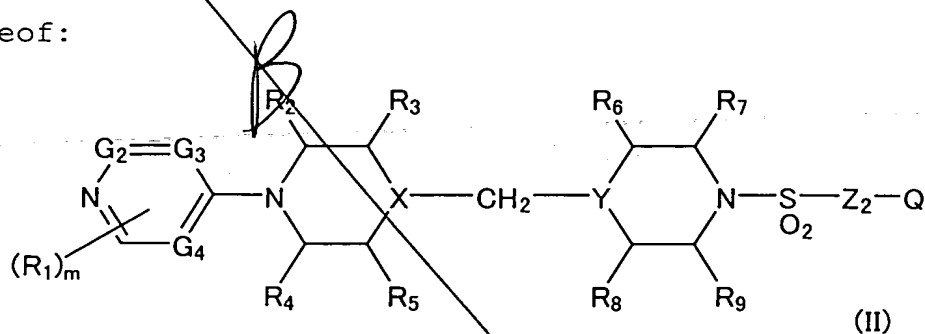
009290" 24428560

Sub
#1
cont

aromatic rings of group B may be mono-, di-, or tri-substituted by any substituent of group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a nitro group, a carboxyl group, a mono- or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or a lower alkoxy carbonyl group)] or a lower alkyl group that may be substituted by a desired number of substituents of group B.

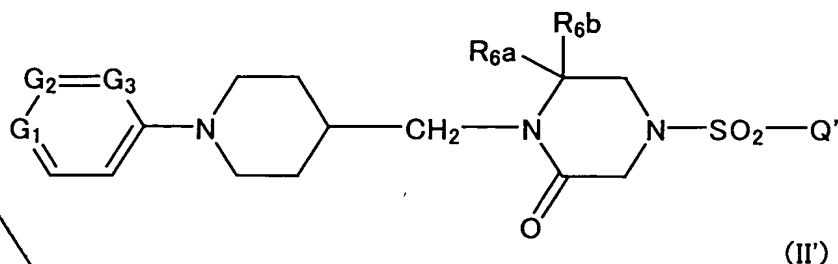
3. The compound or salt thereof according to claim 1 or 2, wherein at least one of G_1 , G_2 and G_3 is N and G_4 is CH.

4. A compound represented by the following formula (II) or a salt thereof:



(wherein G_2 , G_3 , G_4 , $R_2 - R_9$, Z_2 and Q have the same meanings as respectively defined for the formula (I) in claim 1, and m is 0 - 2).

5. A compound represented by the following general formula (II') or a salt thereof:



(wherein G_1 , G_2 and G_3 are independently CH or N, provided that at least one of them is N;

one of R_{6a} and R_{6b} is a hydrogen atom and the other is

- 1) a group selected from among a carboxyl group, a lower alkylcarbonyl group, a lower alkoxy carbonyl group and a lower alkoxy carbonyl alkyl carbonyl group;
- 2) a group selected from among an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxy carbamoyl group, a lower alkoxy carbonyl alkyl carbamoyl group, a pyrrolidin-1-yl carbonyl group, a morpholinocarbonyl group, a piperidin-1-yl carbonyl group which may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenyl carbamoyl group or a group selected from among the groups represented by the formulae $-\text{CONH}(\text{CH}_2)_p\text{S}(\text{O})_q\text{R}_{10}$ and $-\text{CONH}(\text{CH}_2)_r\text{NR}_{11}\text{R}_{12}$ (wherein R_{10} , R_{11} and R_{12} are independently a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group; p is an integer of 0 - 4, q is an integer

009290" 24428560

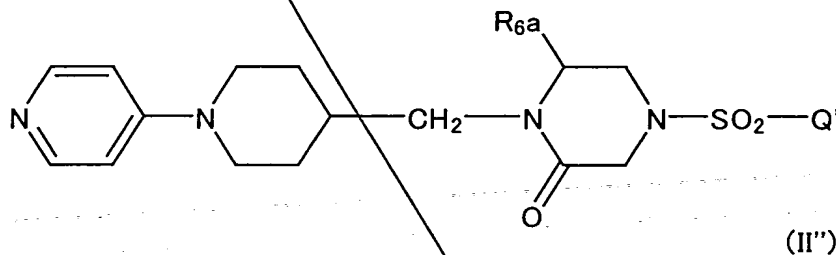
of 0 - 2, and r is an integer of 1 - 4), or

3) a lower alkyl group optionally substituted by R_{15} ; R_{15} is a carboxyl group, a lower alkoxy carbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

or R_{6a} and R_{6b} are both a lower alkyl group;

Q' is an aryl group optionally substituted by a group having any 1 - 4 halogen atoms or an aryl lower alkenylene group which may be similarly substituted).

6. A compound of the formula (II''):



(wherein R_{6a} and Q' have the same definitions as given for the substituent R_{6a} and Q' in the formula (II')) or a salt thereof.

7. The compound or salt thereof according to claim 6, wherein R_{6a} is a carboxyl group, a lower alkoxy carbonyl group or a lower alkyl group that may be substituted by R_{15} ; R_{15} is a

Sub
B2 C2
Cont

009290" 2442 062600

carboxyl group, a lower alkoxy carbonyl group, a hydroxyl group, a lower alkoxy group or a lower alkanoyloxy group.

8. A compound selected from the following list or a salt thereof:

1-[1-((E)-4-chlorostyrylsulfonyl)piperidin-4-yl]-4-(4-pyridyl)piperazine;

1-[1-((E)-4-chlorostyrylsulfonyl)piperidin-4-ylmethyl]-4-(4-pyridyl)piperazine;

1-[(E)-4-chlorostyrylsulfonyl]-4-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(naphthalene-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-bromonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(benzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-yl-methyl]piperazine;

4-(5-fluorobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

Sub
B2C2
Cont

009290" 27429560

4-(4-methoxybenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-methoxybenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-[3-(ethoxycarbonylmethyl)benzo[b]thiophen-2-ylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

1-[1-(4-pyridyl)piperidin-4-ylmethyl]-4-[3-(trifluoromethyl)benzo[b]thiophen-2-ylsulfonyl]piperazine;

4-(3-nitrobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(benzo[b]furan-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(2-methylbenzothiazol-6-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(4-phenylbenzenesulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-carboxy-2-chlorobenzenesulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-[5-(carboxymethyl)-2-chlorobenzenesulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-acetamidonaphthalen-2-ylsulfonyl)-1-[1-(4-

Sub
C 2
cont

009290" 2428560

pyridyl)piperidin-4-ylmethyl]piperazine;
4-(5-aminonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(5-aminonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-bromonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(naphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-methylnaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-cyanonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-hydroxynaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(1-fluoronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

Sub
B2
cont
C2

009290" 24428560

4-(6-chloronaphthalen-2-ylsulfonyl)-2-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
 4-(6-chloronaphthalen-2-ylsulfonyl)-2-hydroxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
 2-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
 4-(6-chloronaphthalen-2-ylsulfonyl)-2-[(2-ethoxycarbonyl)acetyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
 2-aminocarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
 4-(6-chloronaphthalen-2-ylsulfonyl)-2-[N-(ethylthioethyl)aminocarbonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
 2-acetyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine; and
 4-(6-chloronaphthalen-2-ylsulfonyl)-2-(N,N-dimethylaminocarbonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine.

9. A compound selected from the following list or a salt thereof:

4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-[1-(4-

Sub
B2-C2
Cont

009290" 24428560

pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-

pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-hydroxymethyl-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-acetoxymethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-[(E)-4-chlorostyrylsulfonyl]-6-ethoxycarbonyl-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-carboxy-4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-aminocarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-aldoxymyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-morpholinocarbonyl-1-[1-
(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethylaminocarbonyl-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxyaminocarbonyl-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

00582442 062600

Sub
B2
cont

~~4-(6-chloronaphthalen-2-ylsulfonyl)-6-(4-hydroxypiperidinecarbonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
6-aminomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-morpholinomethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethylaminomethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
6-acetamidomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-methanesulfonylamidomethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-(4-hydroxypiperidinemethyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(2-naphthylsulfonyl)-6-hydroxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
6-acetoxymethyl-4-(2-naphthylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;~~

Sub
B₂C₂
Cont

009290" 2428560

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(S)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(S)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-6-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-n-propoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-n-propoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-isopropoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-isopropoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-t-butoxycarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6,6-dimethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; and

(R)-4-[(E)-4-chlorostyrylsulfonyl]-6-methoxymethyl-1-[1-(4-

Sub
B2
cont

009290" 24428560

Sub C2
82
cont

pyridyl)piperidin-4-ylmethyl]piperazin-2-one.

10. The compound or salt thereof according to claim 1 or 2, wherein each of G_1 , G_2 , G_3 and G_4 is CH.

Sub
83
C3

11. A pharmaceutical composition containing at least one compound or salt thereof according to ~~any one of claims 1 - 10~~ as an active ingredient.

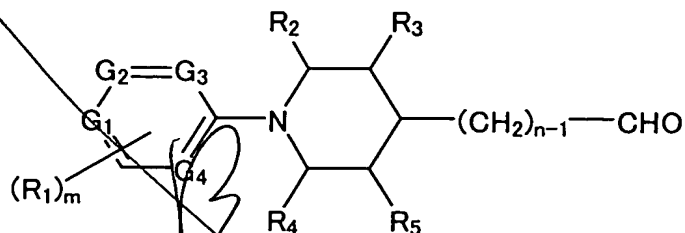
12. The pharmaceutical composition according to claim 11, which is an inhibitor of activated coagulation factor X.

13. The pharmaceutical composition according to claim 11, which is an anticoagulant.

14. The pharmaceutical composition according to claim 11, which is a preventive and/or therapeutic agent for disease caused by thrombus or embolus.

15. A compound of the formula (IV)-b that may be protected with a suitable protective group or a salt thereof:

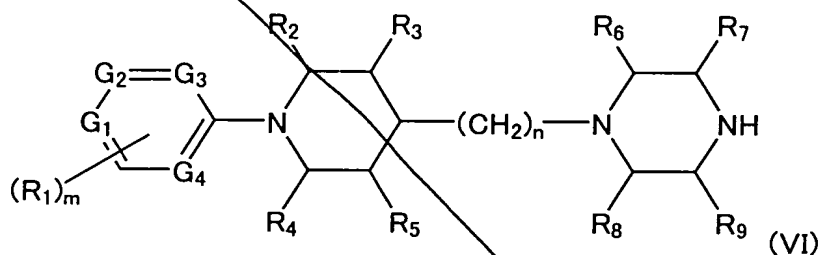
00582442.062600



(IV) - b

(wherein G_1 , G_2 , G_3 , G_4 , R_1 , R_2 , R_3 , R_4 , R_5 , n and m have the same meanings as respectively defined for the formula (I) in claim 1).

16. A compound of the formula (VI) that may be protected with a suitable protective group or a salt thereof:



(wherein $G_1 - G_4$, $R_1 - R_9$, m and n have the same meanings as respectively defined for the formula (I) in claim 1).

add
BS

add
CS

009290" 2442856

Sub
BT
C4